INVESTIGATION OF ELECTROPHILIC CYCLIZATION REACTIONS OF 2-(3-SUBSTITUTED 2-PROPYNYLTHIO) METHYL-1H-BENZIMIDAZOLES

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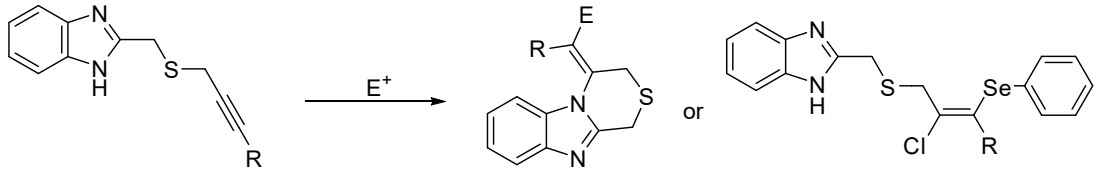
Derivatives containing imidazo[2,1-c][1,4]thiazine fragment can be potential anti HSV-1 suppressor[1], β-lactamase inhibitor [2], anti trypanosomatid agents[3] and shows cytotoxic properties [4]. However, only several synthetic ways are used for this type of heterocycle [5]. One of the new routes to imidazothiazine could be through electrophile initiated heteroatom cyclization reaction of alkynes which is used in synthesis of other types of heterocycles [6]. In the literature there is no information about formation of imidazo[2,1-c][1,4]thiazine using propargylic substrates in any cyclization reactions. Hence, this is a new potential investigation field in organic chemistry. Keeping in mind that benzimidazoles and a lot of their derivatives are interesting due to their biological properties [7], we decided to synthesize various 2-(3-substituted 2-propynylthio) methyl-1H-benzimidazoles **1** and investigate their intramolecular electophilic cyclization.

The starting materials **1** were prepared from 2-bromo-1-arylpropynes and (1H-benzo[d]imidazol-2-yl)methanethiol, with sodium hydroxide. Then the electrophile initiated cyclization reactions of propynythiomethylbenzimidazoles **1** were investigated. It was found that electrophile has a significant effect on the reaction results. Depending on electophile it is possible to obtain thiazinobenzimidazole **2** derivatives or addition products **3** without cyclization. The scope and limitations of this reaction will be enlarged in presentation.



1 **2** **3**

R= p-MeC_6H_4, p-$OMeC_6H_4$, C_6H_5, C_2H_5
E^+=I^+, Br^+, $PhSe^+$, p-OMe-C_6H_4-C^+OMe

E^+=$PhSe^+$

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